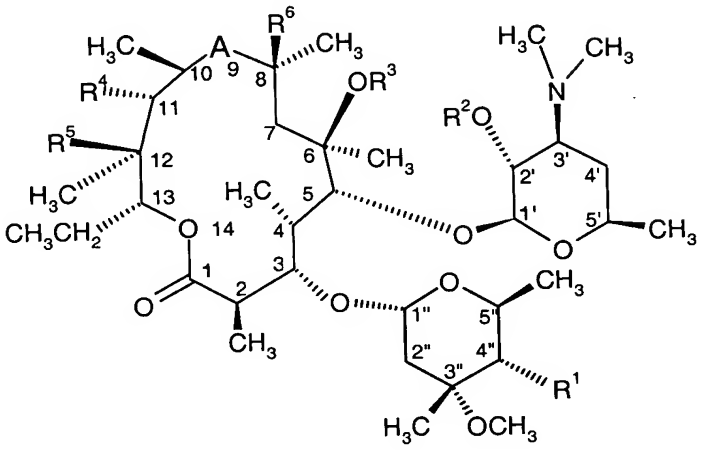
Amendments to the claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Original): A compound of formula (I)



(I)

wherein

A is a bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -$N(R^7)$-CH_2-, -CH_2-$N(R^7)$-, -$CH(NR^8R^9)$- and -$C(=NR^{10})$-;

R^1 is -$OC(O)(CH_2)_dXR^{11}$;

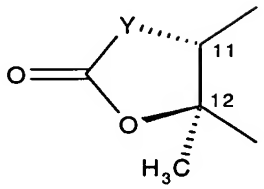
R^2 is hydrogen or a hydroxyl protecting group;

R^3 is hydrogen, C_{1-4}alkyl, or C_{3-6}alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R^4 is hydroxy, C_{3-6}alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or C_{1-6}alkoxy optionally substituted by C_{1-6}alkoxy or -$O(CH_2)_eNR^7R^{12}$,

R^5 is hydroxy, or

R^4 and R^5 taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is a bivalent radical selected from $-CH_2-$, -CH(CN)-, -O-, $-N(R^{13})-$ and $-CH(SR^{13})-$;

R^6 is hydrogen or fluorine;

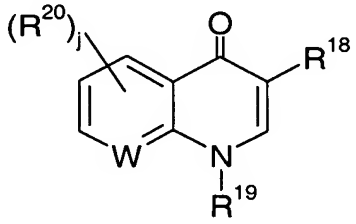
R^7 is hydrogen or C_{1-6}alkyl;

R^8 and R^9 are each independently hydrogen, C_{1-6}alkyl, $-C(=NR^{10})NR^{14}R^{15}$ or $-C(O)R^{14}$, or

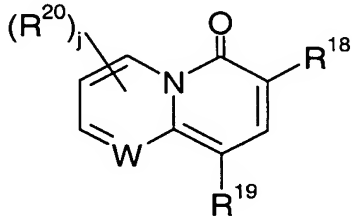
R^8 and R^9 together form $=CH(CR^{14}R^{15})_f$aryl, $=CH(CR^{14}R^{15})_f$heterocyclyl, $=CR^{14}R^{15}$ or $=C(R^{14})C(O)OR^{14}$, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R^{16};

R^{10} is $-OR^{17}$, C_{1-6}alkyl, $-(CH_2)_g$aryl, $-(CH_2)_g$heterocyclyl or $-(CH_2)_hO(CH_2)_iOR^7$, wherein each R^{10} group is optionally substituted by up to three groups independently selected from R^{16};

R^{11} is a heterocyclic group having the following structure:



or



R^{12} is hydrogen or C_{1-6}alkyl;

R^{13} is hydrogen or C_{1-4}alkyl optionally substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R^{14} and R^{15} are each independently hydrogen or C_{1-6}alkyl;

R^{16} is halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{21}$, $-C(O)OR^{21}$, $-OC(O)R^{21}$, $-OC(O)OR^{21}$, $-NR^{22}C(O)R^{23}$, $-C(O)NR^{22}R^{23}$, $-NR^{22}R^{23}$, hydroxy, C_{1-6}alkyl, $-S(O)_kC_{1-6}$alkyl, C_{1-6}alkoxy, $-(CH_2)_m$aryl or $-(CH_2)_m$heteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from $-NR^{14}R^{15}$, halogen and $-OR^{14}$, and the aryl and heteroaryl groups are optionally substituted by up to five groups independently selected from halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{24}$, $-C(O)OR^{24}$, $-OC(O)OR^{24}$, $-NR^{25}C(O)R^{26}$, $-C(O)NR^{25}R^{26}$, $-NR^{25}R^{26}$, hydroxy, C_{1-6}alkyl and C_{1-6}alkoxy;

R^{17} is hydrogen, C_{1-6}alkyl, C_{3-7}cycloalkyl, C_{3-6}alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, $-OR^{27}$, $-S(O)_nR^{27}$, $-NR^{27}R^{28}$, $-CONR^{27}R^{28}$, halogen and cyano;

R^{18} is hydrogen, $-C(O)OR^{29}$, $-C(O)NHR^{29}$, $-C(O)CH_2NO_2$ or $-C(O)CH_2SO_2R^7$;

R^{19} is hydrogen, C_{1-4}alkyl optionally substituted by hydroxy or C_{1-4}alkoxy, C_{3-7}cycloalkyl, or optionally substituted phenyl or benzyl;

R^{20} is halogen, C_{1-4}alkyl, C_{1-4}thioalkyl, C_{1-4}alkoxy, $-NH_2$, $-NH(C_{1-4}alkyl)$ or $-N(C_{1-4}alkyl)_2$;

R^{21} is hydrogen, C_{1-10}alkyl, $-(CH_2)_p$aryl or $-(CH_2)_p$heteroaryl;

R^{22} and R^{23} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_q$aryl or $-(CH_2)_q$heterocyclyl;

R^{24} is hydrogen, C_{1-10}alkyl, $-(CH_2)_r$aryl or $-(CH_2)_r$heteroaryl;

R^{25} and R^{26} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_s$aryl or $-(CH_2)_s$heterocyclyl;

R^{27} and R^{28} are each independently hydrogen, C_{1-4}alkyl or C_{1-4}alkoxyC_{1-4}alkyl;

R^{29} is hydrogen,

C_{1-6}alkyl optionally substituted by up to three groups independently selected from halogen, cyano, C_{1-4}alkoxy optionally substituted by phenyl or C_{1-}

$_4$alkoxy, -C(O)C_{1-6}alkyl, -C(O)OC_{1-6}alkyl, -OC(O)C_{1-6}alkyl, -OC(O)OC_{1-6}alkyl, -C(O)N$R^{32}R^{33}$, -N$R^{32}R^{33}$ and phenyl optionally substituted by nitro or -C(O)OC_{1-6}alkyl,

-$(CH_2)_w C_{3-7}$cycloalkyl,

-$(CH_2)_w$heterocyclyl,

-$(CH_2)_w$heteroaryl,

-$(CH_2)_w$aryl,

C_{3-6}alkenyl, or

C_{3-6}alkynyl;

R^{30} is hydrogen, C_{1-4}alkyl, C_{3-7}cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

R^{31} is hydrogen or R^{20}, or R^{31} and R^{19} are linked to form the bivalent radical -$O(CH_2)_2$- or -$(CH_2)_t$-;

R^{32} and R^{33} are each independently hydrogen or C_{1-6}alkyl optionally substituted by phenyl or -C(O)OC_{1-6}alkyl, or

R^{32} and R^{33}, together with the nitrogen atom to which they are bound, form a 5 or 6 membered heterocyclic group optionally containing one additional heteroatom selected from oxygen, nitrogen and sulfur;

X is -U$(CH_2)_v$B-;

U is -N(R^{30})- and B is -O- or -S$(O)_z$, or

U is -O- and B is -N(R^{30})- or -O-;

W is -C(R^{31})- or a nitrogen atom;

d is 0 or an integer from 1 to 5;

e is an integer from 2 to 4;

f, g, h, m, p, q, r and s are each independently integers from 0 to 4;

i is an integer from 1 to 6;

j, k, n and z are each independently integers from 0 to 2;

t is 2 or 3;

v is an integer from 1 to 8;

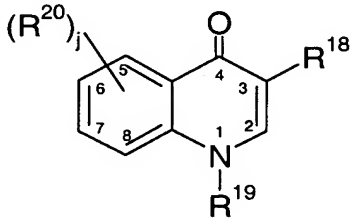
or a pharmaceutically acceptable derivative thereof.

2. (Original): A compound according to claim 1 wherein A is -C(O)- or -N(R^7)-CH_2-.

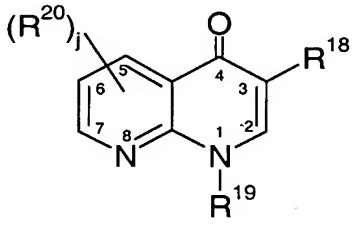
3. (Currently amended): A compound according to claim 1 ~~or claim 2~~ wherein d is 2.

4. (Currently amended): A compound according to claim 1 ~~any one of the preceding claims~~ wherein v is 2.

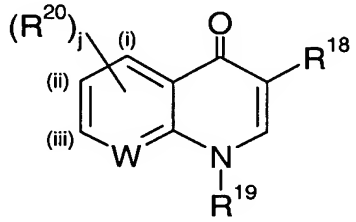
5. (Currently amended): A compound according to claim 1 ~~any one of the preceding claims~~ wherein R^{11} is a heterocyclic group of the following formula:



or



wherein the heterocyclic is linked in the 6 or 7 position and j, R^{18}, R^{19} and R^{20} are as defined in claim 1, or a heterocyclic group of the following formula:



wherein the heterocyclic is linked in the (ii) or (iii) position, W is $-C(R^{31})-$ and R^{31} and R^{19} are linked to form the bivalent radical $-(CH_2)_t-$ as defined in claim 1, and j, R^{18}, R^{19} and R^{20} are as defined in claim 1.

6. (Original): A compound according to claim 1 as defined in any one of Examples 1 to 87, or a pharmaceutically acceptable derivative thereof.

7. (Original): A compound selected from:
4"-O-{3-[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-6-quinolinylsulfanyl)ethylamino]propionyl}-6-O-methyl-erythromycin A;
4"-O-{3-[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-6-quinolinylsulfanyl)ethylamino]propionyl}-6-O-methyl-11-desoxy-11-(R)-amino-erythromycin A 11,12-carbamate;
4"-O-{3-[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-6-quinolinysulfanyl)ethylamino]propionyl}-azithromycin 11,12-carbonate;
4"-O-{3-[2-(6-carboxy-7-oxo-2,3-dihydro-1H,7H-pyrido[3,2,1-ij]quinolin-9-yloxy)ethylamino]propionyl}-6-O-methyl-erythromycin A;
4"-O-{3-[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-7-quinolinyloxy)ethylamino]propionyl}-6-O-methyl-erythromycin A;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-azithromycin;
4"-*O*-{3-[2-(3-carboxy-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-azithromycin;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-11-*O*-methyl-azithromycin;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-yloxy)-ethoxy]-propionyl}-azithromycin; and
4"-O-{3-[2-(3-carboxy-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-yloxy)-ethoxy]-propionyl}-azithromycin;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-azithromycin 11,12-cyclic carbonate;
4"-*O*-{3-[2-(3-carboxy-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-11-*O*-methyl-azithromycin;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-yloxy)-ethoxy]-propionyl}-azithromycin 11,12-carbonate;

4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-6-quinolinylamino)ethoxy]propionyl}-6-*O*-methyl-11-desoxy-11-(R)-amino-erythromycin A 11,12-carbamate;

4"-*O*-{3-[2-(3-carboxy-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-yloxy)-ethoxy]-propionyl}-11-*O*-methyl-azithromycin;

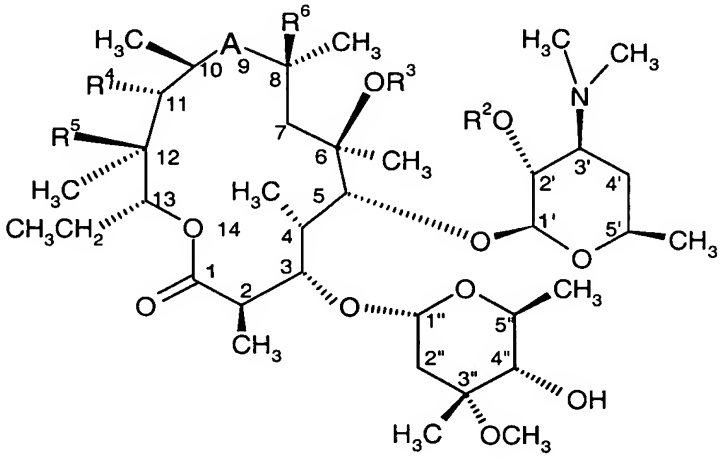
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-yloxy)ethoxy]propionyl}-6-*O*-methyl-erythromycin A;

4"-*O*-{3-[2-(3-carboxy-1-cyclopropyl-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-quinolin-7-ylamino)ethoxy]propionyl}-azithromycin;

or a pharmaceutically acceptable derivative thereof.

8. (Original): A process for the preparation of a compound as claimed in claim 1 which comprises:

a) reacting a compound of formula (II)



(II)

$HOC(O)(CH_2)_dX^aR^{11a}$

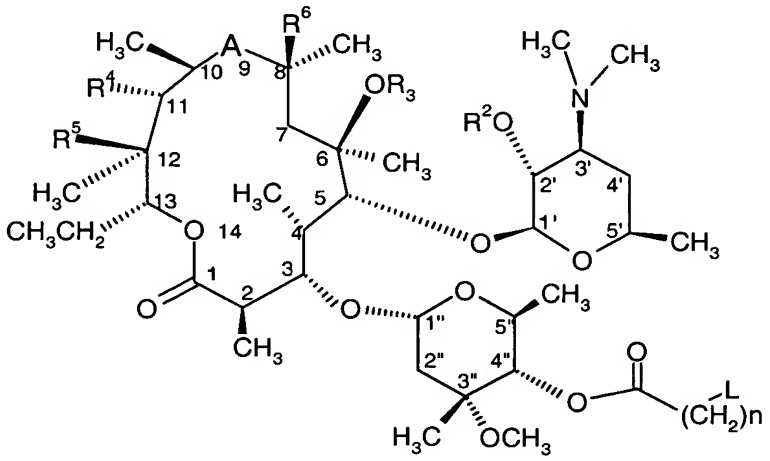
(III)

with a suitable activated derivative of the acid (III), wherein X^a and R^{11a} are X and R^{11} as defined in claim 1 or groups convertible to X and R^{11}, to produce a compound of formual (I) wherein d is an integer from 1 to 5;

b) reacting a compound of formula (II), in which the 4" hydroxy is suitably activated, with a compound of formula X^aR^{11a}(IV), wherein R^{11a} is R^{11} as defined in claim 1

or a group convertible to R^{11} and X^a is $-U(CH_2)_vB-$ or a group convertible to $-U(CH_2)_vB-$, in which U is a group selected from selected from $-N(R^{30})-$ and -O-, to produce a compound of formula (I) wherein d is 0 and U is a group selected from $-N(R^{30})-$ and -O-;

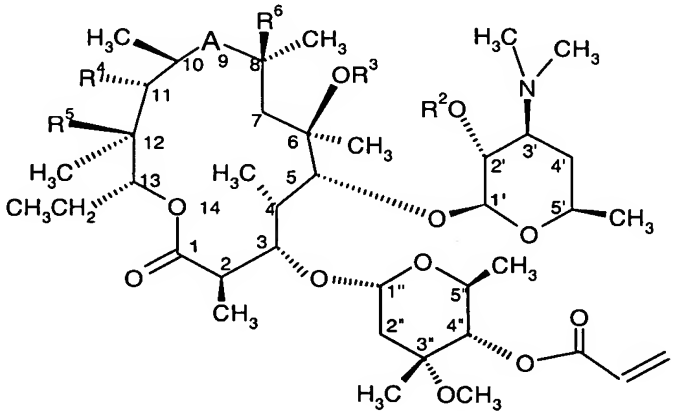
c) reacting a compound of formula (V)



(V)

with a compound of formula X^aR^{11a} (IV), wherein R^{11a} is R^{11} as defined in claim 1 or a group convertible to R^{11} and X^a is $-U(CH_2)_vB-$ or a group convertible to $-U(CH_2)_vB-$ in which U is $-N(R^{30})-$, and L is suitable leaving group, to produce a compound of formula (I) wherein U is $-N(R^{30})-$;

d) reacting a compound of formula (VII), with a compound of formula X^aR^{11a} (IV),



(VII)

wherein R^{11a} is R^{11} as defined in claim 1 or a group convertible to R^{11}, and X^a is -$U(CH_2)_vB$- or a group convertible to -$U(CH_2)_vB$- in which U is $N(R^{30})$-, to produce a compound of formula (I) wherein d is 2 and U is -$N(R^{30})$-; or

e) converting one compound of formula (I) into another compound of formula (I);

and thereafter, if required, subjecting the resulting compound to one or more of the following operations:
i) removal of the protecting group R^2,
ii) conversion of X^aR^{11a} to XR^{11},
iii) conversion of B^aR^{11a} to BR^{11}, and
iv) conversion of the resultant compound of formula (I) into a pharmaceutically acceptable derivative thereof.

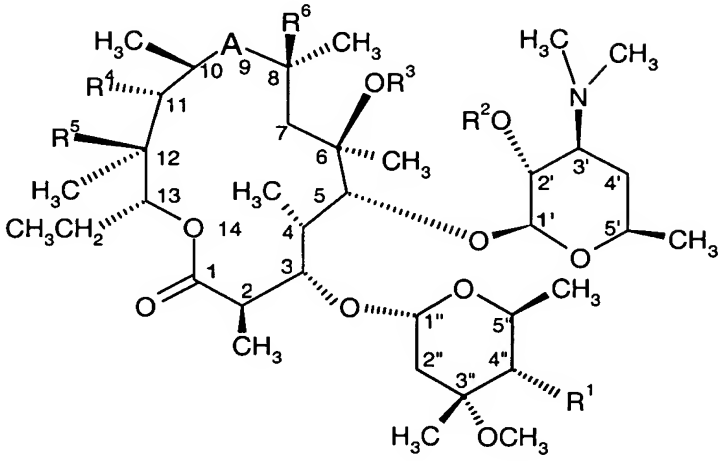
9. (Currently amended): A compound as claimed in claim 1 ~~any one of claims 1 to 7~~ for use in therapy.

Claims 10 and 11 (Cancelled).

12. (Currently amended): A method for the treatment of the human or non-human animal body to combat microbial infection comprising administration to a body in need of such treatment of an effective amount of a compound as claimed in claim 1 ~~any one of claims 1 to 7~~.

13. (Currently amended): A pharmaceutical composition comprising at least one compound as claimed in claim 1 ~~any one of claims 1 to 7~~ in association with a pharmaceutically acceptable excipient, diluent and/or carrier.

14. (Original): A compound of formula (IA)



(IA)

wherein

A is a bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -N(R^7)-CH_2-, -CH_2-N(R^7)-, -CH(NR^8R^9)- and -C(=NR^{10})-;

R^1 is -OC(O)$(CH_2)_dXR^{11}$;

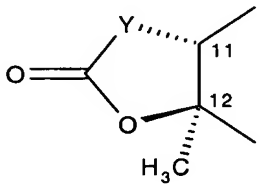
R^2 is hydrogen or a hydroxyl protecting group;

R^3 is hydrogen, C_{1-4}alkyl, or C_{3-6}alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R^4 is hydroxy, C_{3-6}alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or C_{1-6}alkoxy optionally substituted by C_{1-6}alkoxy or -$O(CH_2)_eNR^7R^{12}$,

R^5 is hydroxy, or

R^4 and R^5 taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is a bivalent radical selected from -CH_2-, -CH(CN)-, -O-, -N(R^{13})- and -CH(SR^{13})-;

R^6 is hydrogen or fluorine;

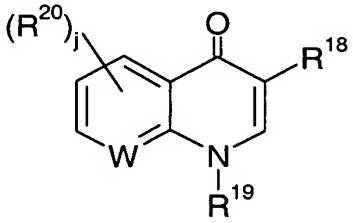
R^7 is hydrogen or C_{1-6}alkyl;

R^8 and R^9 are each independently hydrogen, C_{1-6}alkyl, $-C(=NR^{10})NR^{14}R^{15}$ or $-C(O)R^{14}$, or

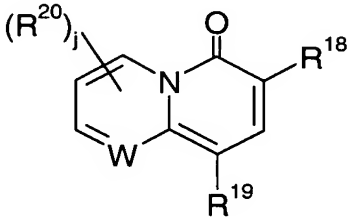
R^8 and R^9 together form $=CH(CR^{14}R^{15})_f$aryl, $=CH(CR^{14}R^{15})_f$heterocyclyl, $=CR^{14}R^{15}$ or $=C(R^{14})C(O)OR^{14}$, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R^{16};

R^{10} is $-OR^{17}$, C_{1-6}alkyl, $-(CH_2)_g$aryl, $-(CH_2)_g$heterocyclyl or $-(CH_2)_hO(CH_2)_iOR^7$, wherein each R^{10} group is optionally substituted by up to three groups independently selected from R^{16};

R^{11} is a heterocyclic group having the following structure:



or



R^{12} is hydrogen or C_{1-6}alkyl;

R^{13} is hydrogen or C_{1-4}alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R^{14} and R^{15} are each independently hydrogen or C_{1-6}alkyl;

R^{16} is halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{21}$, $-C(O)OR^{21}$, $-OC(O)R^{21}$, $-OC(O)OR^{21}$, $-NR^{22}C(O)R^{23}$, $-C(O)NR^{22}R^{23}$, $-NR^{22}R^{23}$, hydroxy, C_{1-6}alkyl, $-S(O)_kC_{1-6}$alkyl, C_{1-6}alkoxy, $-(CH_2)_m$aryl or $-(CH_2)_m$heteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from $-NR^{14}R^{15}$, halogen and $-OR^{14}$, and the aryl and heteroaryl groups are

optionally substituted by up to five groups independently selected from halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{24}$, $-C(O)OR^{24}$, $-OC(O)OR^{24}$, $-NR^{25}C(O)R^{26}$, $-C(O)NR^{25}R^{26}$, $-NR^{25}R^{26}$, hydroxy, C_{1-6}alkyl and C_{1-6}alkoxy;

R^{17} is hydrogen, C_{1-6}alkyl, C_{3-7}cycloalkyl, C_{3-6}alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, $-OR^{27}$, $-S(O)_nR^{27}$, $-NR^{27}R^{28}$, $-CONR^{27}R^{28}$, halogen and cyano;

R^{18} is hydrogen, $-C(O)OR^{29}$, $-C(O)NHR^{29}$ or $-C(O)CH_2NO_2$;

R^{19} is hydrogen, C_{1-4}alkyl optionally substituted by hydroxy or C_{1-4}alkoxy, C_{3-7}cycloalkyl, or optionally substituted phenyl or benzyl;

R^{20} is halogen, C_{1-4}alkyl, C_{1-4}thioalkyl, C_{1-4}alkoxy, $-NH_2$, $-NH(C_{1-4}alkyl)$ or $-N(C_{1-4}alkyl)_2$;

R^{21} is hydrogen, C_{1-10}alkyl, $-(CH_2)_p$aryl or $-(CH_2)_p$heteroaryl;

R^{22} and R^{23} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_q$aryl or $-(CH_2)_q$heterocyclyl;

R^{24} is hydrogen, C_{1-10}alkyl, $-(CH_2)_r$aryl or $-(CH_2)_r$heteroaryl;

R^{25} and R^{26} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_s$aryl or $-(CH_2)_s$heterocyclyl;

R^{27} and R^{28} are each independently hydrogen, C_{1-4}alkyl or $C_{1-4}alkoxyC_{1-4}$alkyl;

R^{29} is hydrogen or C_{1-6}alkyl optionally substituted by up to three groups independently selected from halogen, C_{1-4}alkoxy, $-OC(O)C_{1-6}$alkyl and $-OC(O)OC_{1-6}$alkyl;

R^{30} is hydrogen, C_{1-4}alkyl, C_{3-7}cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

R^{31} is hydrogen or R^{20}, or R^{31} and R^{19} are linked to form the bivalent radical $-O(CH_2)_2-$ or $-(CH_2)_t-$;

X is $-U(CH_2)_vB-$;

U is $-N(R^{30})-$ and B is $-O-$ or $-S(O)_z$, or

U is $-O-$ and B is $-N(R^{30})-$ or $-O-$;

W is $-C(R^{31})-$ or a nitrogen atom;

d is 0 or an integer from 1 to 5;

e is an integer from 2 to 4;

f, g, h, m, p, q, r and s are each independently integers from 0 to 4;

i is an integer from 1 to 6;

j, k, n and z are each independently integers from 0 to 2;

t is 2 or 3;

v is an integer from 2 to 8;

or a pharmaceutically acceptable derivative thereof.